

Highly Diastereoselective Samarium Diiodide Induced Ketyl Cyclizations of Indole and Pyrrole Derivatives – Scope and Limitations.

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Abstract

Here we summarise our results for SmI_2 -induced 5-*exo-trig* to 8-*exo-trig* reductive cyclisations of suitably substituted indole and pyrrole derivatives. All precursors were easily prepared by simple *N*-alkylation or *N*-acylation of indole and pyrrole derivatives with the corresponding iodo alkanones, acid chlorides or lactones. The SmI_2 -induced cyclisations in most cases provided tri- and tetracyclic derivatives, even in the absence of HMPA, in good to very good yields and with excellent diastereoselectivities. Extensive investigations of the reaction conditions revealed that in the presence of different proton sources SmI_2 -induced cyclisations afforded mainly one major type of diastereomer (thermodynamic control), so the formation of three or four stereogenic centres is controlled in one step. The mechanism of the SmI_2 -induced ketyl coupling is discussed in more detail on the basis of these observations and two possible mechanistic pathways are compared. The assumed intermediate samarium enolates were also trapped with allyl iodide, furnishing interesting polycyclic N-heterocycles bearing newly formed quaternary centres as single diastereomers.

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